CLAIMS

 A fused heterocyclic derivative represented by the following general formula (I):

$$R^1$$
 R^2
 R^3
 R^4

wherein

10

15

20

one of $\ensuremath{\mbox{R}}^1$ and $\ensuremath{\mbox{R}}^4$ represents a group represented by the general formula:

$$Q - \left(\begin{array}{c} R^5 \\ A \\ R^6 \end{array} \right)$$

[in the formula R^5 and R^6 independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{2-6} alkenyl group, a C_{2-6} alkenyloxy group, a C_{1-6} alkylthio group, a C_{2-6} alkenylthio group, a halo (C_{1-6} alkyl) group, a halo (C_{1-6} alkylthio) group, a hydroxy (C_{1-6} alkylthio) group, a hydroxy (C_{1-6} alkoxy) group, a hydroxy (C_{1-6} alkoxy) group, a hydroxy (C_{1-6} alkylthio) group, a carboxy group, a carboxy (C_{1-6} alkyl) group, a carboxy (C_{1-6} alkyl) group, a carboxy (C_{1-6} alkoxy) group, a carboxy (C_{1-6} alkoxy) group, a carboxy (C_{1-6} alkoxy) group, a carboxy (C_{1-6} alkylthio) group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkoxycarbonyl (C_{1-6} alkenyl) group, a C_{2-7} alkoxycarbonyl (C_{1-6} alkenyl) group, a C_{2-7}

alkoxycarbonyl(C_{1-6} alkoxy) group, a C_{2-7} alkoxycarbonyl(C_{1-6} alkylthio) group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, $-U-V-W-N(R^7)-Z$, or any of the following substituents (i) to (xxviii) which may have 1 to 3 substituents selected from the following substituent group α on the ring;

5

(i) a C_{6-10} aryl group, (ii) C_{6-10} aryl-O-, (iii) C_{6-10} aryl-S-, (iv) a C_{6-10} aryl (C_{1-6} alkyl) group, (v) a C_{6-10} aryl (C_{1-6} alkoxy) group, (vi) a C_{6-10} aryl (C_{1-6} alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-,

10 (x) a heteroaryl(C₁₋₆ alkyl) group, (xi) a heteroaryl(C₁₋₆ alkoxy) group, (xii) a heteroaryl(C₁₋₆ alkylthio) group, (xiii) a C₃₋₇ cycloalkyl group, (xiv) C₃₋₇ cycloalkyl-O-, (xv) C₃₋₇ cycloalkyl-S-, (xvi) a C₃₋₇ cycloalkyl(C₁₋₆ alkyl) group, (xvii) a C₃₋₇ cycloalkyl(C₁₋₆ alkoxy) group, (xviii) a C₃₋₇

cycloalkyl(C₁₋₆ alkylthio) group, (xix) a heterocycloalkyl
group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-,
(xxii) a heterocycloalkyl(C₁₋₆ alkyl) group, (xxiii) a
heterocycloalkyl(C₁₋₆ alkoxy) group, (xxiv) a
heterocycloalkyl(C₁₋₆ alkylthio) group, (xxv) an aromatic
cyclic amino group, (xxvi) an aromatic cyclic amino(C₁₋₆ alkyl)

group or (xxvii) an aromatic cyclic amino(C_{1-6} alkoxy) group, (xxviii) an aromatic cyclic amino(C_{1-6} alkylthio) group,

J represents a C_{1-6} alkylene group which may have a hydroxy group, or a C_{2-6} alkenylene group;

U represents -0-, -S- or a single bond and with the proviso that at least one of V and W is not a single bond when U is -0- or -S-);

V represents a C_{1-6} alkylene group which may have a hydroxy group, a C_{2-6} alkenylene group or a single bond;

W represents -CO-, $-SO_2-$, -C (=NH) - or a single bond;

Z independently represents a hydrogen atom, a C2-7

alkoxycarbonyl group, a C_{6-10} aryl(C_{2-7} alkoxycarbonyl) group, a formyl group, $-R^A$, $-COR^B$, $-SO_2R^B$, $-CON(R^C)R^D$, $-CSN(R^C)R^D$, $-SO_2NHR^A$ or $-C(=NR^E)N(R^F)R^G$;

 R^7 , R^A , R^C and R^D independently represent a hydrogen atom, a C_{1-6} alkyl group which may have 1 to 5 substituents selected from the following substituent group β , or any of the following substituents (xxix) to (xxxii) which may have 1 to 3 substituents selected from the following substituent group α ;

10

15

20

25

(xxix) a C₆₋₁₀ aryl group, (xxx) a heteroaryl group, (xxxi)
a C₃₋₇ cycloalkyl group or (xxxii) a heterocycloalkyl group
 or Z and R⁷ bind together with the neighboring nitrogen
atom to form an aliphatic cyclic amino group which may have 1
to 3 substituents selected from the following substituent group
α;

or R^C and R^D bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent group α ;

 R^B represents a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkylsulfonylamino group, a C_{6-10} arylsulfonylamino group, a C_{1-6} alkyl group which may have 1 to 5 substituents selected from the following substituent group β or any of the following substituents (xxxiii) to (xxxvi) which may have 1 to 3

substituents selected from the following substituent group α ; (xxxiii) a C₆₋₁₀ aryl group, (xxxiv) a heteroaryl group, (xxxv) a C₃₋₇ cycloalkyl group or (xxxvi) a heterocycloalkyl group,

 R^E , R^F and R^G independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C_{2-7} acyl group, a C_{2-7} alkoxycarbonyl group, a C_{6-10} aryl (C_{2-7} alkoxycarbonyl) group, a nitro group, a C_{1-6} alkylsulfonyl group, a sulfamide group, a carbamimidoyl group or a C_{1-6} alkyl group which may have 1 to 5 substituents selected from the following substituent group β ;

5

10

15

20

25

or R^E and R^F bind together to form an ethylene group; or R^F and R^G bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any substituent selected from the following substituent group α ;

Q represents $-C_{1-6}$ alkylene-, $-C_{2-6}$ alkenylene-, $-C_{2-6}$ alkynylene-, $-C_{1-6}$ alkylene-O-, $-C_{1-6}$ alkylene-S-, $-O-C_{1-6}$ alkylene-, $-S-C_{1-6}$ alkylene-, $-C_{1-6}$ alkylene-O-C₁₋₆ alkylene-, $-C_{1-6}$ alkylene-S-C₁₋₆ alkylene-, $-CON(R^8)$ -, $-N(R^8)CO$ -, $-C_{1-6}$ alkylene-CON(R^8)- or $-CON(R^8)$ -C₁₋₆ alkylene-;

 R^8 represents a hydrogen atom or a C_{1-6} alkyl group; ring A represents a C_{6-10} aryl group or a heteroaryl group] and the other represents a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a cyano group, a carboxy group, a C_{2-7} alkoxycarbonyl group, a carbamoyl group, a mono or di $(C_{1-6}$ alkyl) amino group, a halo $(C_{1-6}$ alkyl) group, a hydroxy $(C_{1-6}$ alkyl) group, a

cyano(C_{1-6} alkyl) group, a carboxy(C_{1-6} alkyl) group, a C_{2-7} alkoxycarbonyl(C_{1-6} alkyl) group, a carbamoyl(C_{1-6} alkyl) group, an amino(C_{1-6} alkyl) group, a mono or di(C_{1-6} alkyl) amino(C_{1-6} alkyl) group, a halo(C_{1-6} alkoxy) group, a hydroxy(C_{1-6} alkoxy) group, a carboxy(C_{1-6} alkoxy) group, a C_{2-7} alkoxycarbonyl(C_{1-6} alkoxy) group, a carbamoyl(C_{1-6} alkoxy) group, an amino(C_{1-6} alkoxy) group, a mono or di(C_{1-6} alkyl) amino(C_{1-6} alkoxy) group, a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyl group, or C_{3-7} cycloalkyl(C_{1-6} alkoxy) group; group;

 R^2 and R^3 independently represent a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a cyano group, a carboxy group, a C_{2-7} alkoxycarbonyl group, a carbamoyl group, a mono or di $(C_{1-6}$ alkyl) amino group, a halo $(C_{1-6}$ alkyl) group, a hydroxy $(C_{1-6}$ alkyl) group, a cyano $(C_{1-6}$ alkyl) group, a carboxy $(C_{1-6}$ alkyl) group, a C_{2-7} alkoxycarbonyl $(C_{1-6}$ alkyl) group, a carbamoyl $(C_{1-6}$ alkyl) group, a mono or di $(C_{1-6}$ alkyl) amino $(C_{1-6}$ alkyl) group, a halo $(C_{1-6}$ alkoxy) group, a halo $(C_{1-6}$ alkoxy) group, a hydroxy $(C_{1-6}$ alkoxy) group, a carboxy $(C_{1-6}$ alkoxy) group, a carboxyl $(C_{1-6}$ alkoxy) group, a carbamoyl $(C_{1-6}$ alkoxy) group, a mono or di $(C_{1-6}$ alkoxy) group, an amino $(C_{1-6}$ alkoxy) group, a mono or di $(C_{1-6}$ alkyl) amino $(C_{1-6}$ alkoxy) group, a $(C_{1-6}$ alkoxyl) group, a $(C_{1-6}$ alkyl) group, a $(C_{1-6}$ alkoxyl) group, a $(C_{1-6}$ alkyl) group, a $(C_{1-6}$

A¹ represents O, S or NR⁹;
A² represents CH or N;

 $$\rm R^9$$ represents a hydrogen atom or a $\rm C_{1-6}$ alkyl group; G represents a group represented by a formula:

$$E^{1} \xrightarrow{\text{P}^{2}} O \xrightarrow{\text{OH}} (G-1)$$

or a formula:

5

15

20

E¹ represents a hydrogen atom, a fluoine atom or a hydroxy group;

 E^2 represents a hydrogen atom, a fluoine atom, a methyl group or a hydroxymethyl group;

10 [substituent group α]

a halogen atom, a hydroxy group, an amino group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo (C_{1-6} alkyl) group, a halo (C_{1-6} alkoxy) group, a hydroxy (C_{1-6} alkyl) group, a C_{2-7} alkoxycarbonyl (C_{1-6} alkyl) group, a hydroxy (C_{1-6} alkoxy) group, an amino (C_{1-6} alkyl) group, an amino (C_{1-6} alkyl) amino group, a mono or di (C_{1-6} alkyl) amino group, a mono or di (hydroxy (C_{1-6} alkyl)) amino group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfonylamino (C_{1-6} alkyl) group, a carboxy group, a C_{2-7} alkoxycarbonyl group, a sulfamoyl group and $-CON(R^H)R^I$

[substituent group β]

a halogen atom, a hydroxy group, an amino group, a C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a halo(C_{1-6} alkoxy) group,

a halo(C_{1-6} alkylthio) group, a hydroxy(C_{1-6} alkoxy) group, a hydroxy(C_{1-6} alkylthio) group, an amino(C_{1-6} alkoxy) group, an amino(C_{1-6} alkylthio) group, a mono or di(C_{1-6} alkyl) amino group, a mono or di[hydroxy(C_{1-6} alkyl)] amino group, an ureido group, a sulfamide group, a mono or di(C_{1-6} alkyl)] ureido group, a mono or di(C_{1-6} alkyl)] ureido group, a mono or di(C_{1-6} alkyl)] ureido group, a mono or di(C_{1-6} alkyl)] sulfamide group, a mono or di[hydroxy(C_{1-6} alkyl)] sulfamide group, a C_{2-7} acylamino group, an amino(C_{2-7} acylamino) group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfonylamino group, a carboxy group, a C_{2-7} alkoxycarbonyl group, C_{1-6} alkylsulfonylamino group, a C_{1-6} al

10

25

(xxxvii) a C₆₋₁₀ aryl group, (xxxviii) C₆₋₁₀ aryl-O-,
 (xxxix) a C₆₋₁₀ aryl (C₁₋₆ alkoxy) group, (xxxx) a C₆₋₁₀ aryl (C₁₋₆
 alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii)
 heteroaryl-O-, (xxxxiii) a C₃₋₇ cycloalkyl group, (xxxxiv) C₃₋₇
 cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi)
 heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group
 or (xxxxviii) an aromatic cyclic amino group

 R^{H} and R^{I} independently represent a hydrogen atom or a C_{1-6} alkyl group which may have 1 to 3 substituents selected from the following substituent group γ ;

or both of R^H and R^I bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent

group δ ;

15

20

25

[substituent group γ]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl) amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl) ureido group, a mono or di[hydroxy(C₁₋₆ alkyl)]ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a mono or di[hydroxy(C₁₋₆ alkyl)]- sulfamide group, a C₂₋₇ acylamino group, an amino(C₂₋₇ acylamino) group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a carbamoyl(C₁₋₆ alkylsulfonylamino) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, a sulfamoyl group and -CON(R^J)R^K

[substituent group δ] a halogen atom, a hydroxy group, an amino group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo (C_{1-6} alkyl) group, a halo (C_{1-6} alkoxy) group, a hydroxy(C_{1-6} alkyl) group, a C_{2-7} alkoxycarbonyl (C_{1-6} alkyl) group, a hydroxy(C_{1-6} alkoxy) group, an amino (C_{1-6} alkyl) group, an amino (C_{1-6} alkyl) group, a mono or di(C_{1-6} alkyl) amino group, a mono or di[hydroxy(C_{1-6} alkyl)]amino group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfonylamino (C_{1-6} alkyl) group, a carboxy group, a C_{2-7} alkoxycarbonyl group, a sulfamoyl group and $-CON(R^3)$ R^K

 $R^{\rm J}$ and $R^{\rm K}$ independently represent a hydrogen atom or a C_{1-6} alkyl group which may have any 1 to 3 substituents selected

from a hydroxy group, an amino group, a mono or di $(C_{1-6}$ alkyl) amino group, a C_{2-7} alkoxycarbonyl group and a carbamoyl group;

or both of R^J and R^K bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group, a hydroxy(C_{1-6} alkyl) group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkoxycarbonyl (C_{1-6} alkyl) group and a carbamoyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

- 2. A fused heterocyclic derivative as claimed in claim 1, wherein Q represents a methylene group, an ethylene group, $-\text{OCH}_2$ -, $-\text{CH}_2\text{O-}$, $-\text{SCH}_2$ or $-\text{CH}_2\text{S-}$, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 3. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents an ethylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20

15

- 4. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents a methylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 25 5. A fused heterocyclic derivative as claimed in claim 1, wherein R^5 and R^6 independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl

group, a C_{2-6} alkynyl group, a C_{1-6} alkoxy group, a C_{2-6} alkenyloxy group, a C_{1-6} alkylthio group, a C_{2-6} alkenylthio group, a halo(C_{1-6} alkyl) group, a halo(C_{1-6} alkoxy) group, a halo(C_{1-6} alkylthio) group, a hydroxy(C_{1-6} alkyl) group, a hydroxy(C_{2-6} alkenyl) group, a hydroxy(C_{1-6} alkoxy) group or a hydroxy(C_{1-6} alkylthio) group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

- 6. A fused heterocyclic derivative as claimed in any one of lo claims 1 to 5, wherein the ring A represents a benzene ring or a pyridine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 7. A fused heterocyclic derivative as claimed in any-one of 15 claims 1 to 6, wherein G represents a group represented by the formula:

, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20

5

8. A pharmaceutical composition comprising as an active ingredient a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

9. A human SGLT inhibitor comprising as an active ingredient a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

5

- 10. A human SGLT inhibitor as claimed in claim 9, wherein the SGLT is SGLT1 and/or SGLT2.
- 11. A human SGLT inhibitor as claimed in claim 9, which is 10 an agent for the inhibition of postprandial hyperglycemia.
 - 12. A human SGLT inhibitor as claimed in claim 9, which is an agent for the prevention or treatment of a disease associated with hyperglycemia.

- 13. A human SGLT inhibitor as claimed in claim 12, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia,
- 20 hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.
- 14. A human SGLT inhibitor as claimed in claim 9, which is25 an agent for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

- 15. Apharmaceutical composition as claimed in claim 8, wherein the dosage form is sustained release formulation.
- 16. A human SGLT inhibitor as claimed in claim 9, wherein the5 dosage form is sustained release formulation.
 - 17. Amethod for the inhibition of postprandial hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10

- 18. A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 19. A method for the prevention or treatment as claimed in claim 18, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

20. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

5

10

- 21. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.
- 22. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.
- 23. Ause as claimed in claim 22, wherein the disease associated
 20 with hyperglycemia is a disease selected from the group
 consisting of diabetes, impaired glucose tolerance, diabetic
 complications, obesity, hyperinsulinemia, hyperlipidemia,
 hypercholesterolemia, hypertriglyceridemia, lipid metabolism
 disorder, atherosclerosis, hypertension, congestive heart
 25 failure, edema, hyperuricemia and gout.
 - 24. A use of a fused heterocyclic derivative as claimed in

any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

5

10

15

20

25

A pharmaceutical composition as claimed in claim 8, which 25. comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analoque, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth

factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase 10 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin 15 II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an 20 antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

26. A human SGLT inhibitor as claimed in claim 9, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion

enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a 5 glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, 10 an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an 15 N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, 20 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a 25 microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase

inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

A method for the inhibition of postprandial hyperglycemia 27. 15 as claimed in claim 17, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon 20 receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate 25 dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor,

glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-l agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated-α-linked-aciddipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, 10 a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, 15 a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a 20 nicotinicacidderivative, abileacidsequestrant, asodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, 25an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist,

a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an $$\alpha_2$$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

5

10

15

20

25

A method for the prevention or treatment of a disease 28. associated with hyperglycemia as claimed in claim 18, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic aluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor,

insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a 10 lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an 15 appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, 20 a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

25

29. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject as claimed in claim 19,

which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-aciddipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,

10

15

20

a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinicacidderivative, abileacidsequestrant, asodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, 10 an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an $\alpha_2\text{-adrenoceptor}$ agonist, an antiplatelets agent, a uric acid 15 synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

30. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, aglucose absorption inhibitor, abiguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine

phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like 5 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a y-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript 10 factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 15 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a 20 cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a 25 bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

5

10

15

20

25

A use of (A) a fused heterocyclic derivative as claimed 31. in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a y-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting

10

15

20

antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

5

10

15

20

25

A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analoque, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor,

insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a 10 lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an 15 appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, 20 a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of advancing 25 impaired glucose tolerance into diabetes in a subject.